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FILE COVERS 1907 - 28 Sep 2005 VOL 143 ISS 14

FILE LAST UPDATED: 27 Sep 2005 (20050927/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 346 SEA FILE=CAPLUS (ESOMEPRAZOLE OR S(W)OMEPRAZOLE)
L2 65 SEA FILE=CAPLUS L1 AND MAGNESIUM
L4 7 SEA FILE=CAPLUS L2 AND CRYSTAL?

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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99328 CAPLUS

DOCUMENT NUMBER: 142:183479

TITLE: Immediate-release formulation of acid-labile drugs

INVENTOR(S): Phillips, Jeffrey O.; Widder, Ken J.

PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA;
Santarus, Inc.

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009381	A2	20050203	WO 2004-US23558	20040722
WO 2005009381	A3	20050616		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW; AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005112193	A1	20050526	US 2004-896682	20040722

PRIORITY APPLN. INFO.:

US 2003-489363P

P 20030723

AB The present invention provides, inter alia, compns. comprising a pH buffering agent and a controlled-release component containing an acid-labile pharmaceutical. Methods of using such compns. are also provided. Microgranules of omeprazole were coated with Eudragit L30D-55.

IT Antibacterial agents

Antioxidants

Binders

Buffers

Digestive tract, disease

Drug bioavailability

Dyes

Dyspepsia

Esophagus, disease

Fillers

Flavoring materials

Fungicides

Lubricants

Polymorphism (crystal)

Preservatives

Solubilizers

Stabilizing agents

Sweetening agents

Wetting agents

(immediate-release formulation of acid-labile drugs)

IT 62-54-4, Calcium acetate 68-04-2, Sodium citrate 72-17-3, Sodium lactate 77-86-1, Trishydroxymethylaminomethane 77-92-9, Citric acid, biological studies 77-93-0, Triethyl citrate 79-41-4D, Methacrylic acid, polymers 84-66-2, Diethyl phthalate 102-76-1, Triacetin 112-92-5, Stearyl alcohol 127-08-2, Potassium acetate 127-09-3, Sodium acetate 140-99-8, Calcium succinate 142-72-3, **Magnesium** acetate 144-55-8, NaHCO₃, biological studies 150-90-3, Disodium succinate 151-21-3, Sodium lauryl sulfate, biological studies 298-14-6, Potassium bicarbonate 471-34-1, Calcium carbonate, biological studies 497-19-8, Sodium carbonate, biological studies 533-96-0, Sodium sesquicarbonate 546-93-0, **Magnesium** carbonate 549-14-4, **Magnesium** phthalate 556-32-1, **Magnesium** succinate 584-08-7, Potassium carbonate 814-80-2, Calcium lactate 866-84-2, Potassium citrate 1305-62-0, Calcium hydroxide, biological studies 1309-42-8, **Magnesium** hydroxide 1309-48-4, MgO, biological studies 1310-73-2, Sodium hydroxide, biological studies 1330-43-4, Sodium borate 1332-77-0, Potassium borate 1343-88-0, **Magnesium** silicate 2090-64-4, **Magnesium** bicarbonate 3164-34-9, Calcium tartrate 3983-19-5, Calcium bicarbonate 5793-85-1, Calcium phthalate 7320-34-5, Potassium pyrophosphate 7558-79-4, Dibasic sodium phosphate 7558-80-7, Sodium dihydrogen phosphate 7601-54-9, Trisodium phosphate 7632-05-5, Sodium phosphate 7693-13-2, Calcium citrate 7722-84-1, Hydrogen peroxide, biological studies 7722-88-5, Sodium pyrophosphate 7758-11-4, Dipotassium hydrogen phosphate 7758-29-4, Sodium tripolyphosphate 7778-53-2, Tripotassium phosphate 7779-25-1, **Magnesium** citrate 7790-53-6, Potassium metaphosphate 9002-89-5, Poly(vinyl alcohol) 9003-39-8, Polyvinylpyrrolidone 9004-32-4 9004-35-7, Cellulose acetate 9004-36-8, Cellulose acetate butyrate 9004-38-0, Cellulose acetate phthalate 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl methyl cellulose 9005-65-6, Polysorbate 80 9010-88-2, Eudragit NE30D 9050-31-1, Hydroxypropyl methyl cellulose phthalate 10043-52-4, Calcium chloride, biological studies 10043-83-1, **Magnesium** phosphate 10103-46-5, Calcium phosphate 10197-71-4, Sodium phthalate 11137-98-7, **Magnesium** aluminate 12304-65-3, Hydrotalcite 12511-31-8 12619-64-6, **Magnesium** borate 13840-55-6, Calcium borate 14047-56-4, Sodium succinate 14475-11-7, Sodium tartrate 16068-46-5, Potassium phosphate

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18917-93-6, Magnesium lactate 20752-56-1, Magnesium
tartrate 21645-51-2, Aluminum hydroxide (Al(OH)3), biological studies
22445-04-1, Potassium succinate 25086-15-1, Eudragit L100 25212-88-8,
Kollicoat MAE30DP 25212-88-8, Eudragit L30D-55 25322-68-3, Macrogol
6000 26936-24-3, Eudragit FS30D 27214-00-2, Calcium glycerophosphate
29801-94-3, Potassium phthalate 31566-31-1, Glyceryl monostearate
36653-82-4, Cetyl alcohol 39366-43-3, Aluminum Magnesium
hydroxide 40968-90-9, Potassium tartrate 52907-01-4, Cellulose acetate
trimellitate 53237-50-6, Poly(vinyl acetate) phthalate 71138-97-1,
Hydroxypropyl methyl cellulose acetate succinate 73590-58-6, Omeprazole
102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5,
Leminoprazole 113712-98-4, Tenatoprazole 117976-89-3, Rabeprazole
117976-90-6, Pariprazole 119141-88-7, Esomeprazole
835648-57-2, Polyquid PA 30
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release formulation of acid-labile drugs)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:878390 CAPLUS

DOCUMENT NUMBER: 141:370547

TITLE: Preparation of polymorphic crystalline forms
of S-omeprazole magnesium

INVENTOR(S): Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura;
Raji, Reddy Rapolu; Muralidhara, Reddy Dasari;
Chander, Reddy Kesireddy Subash

PATENT ASSIGNEE(S): Hetero Drugs Limited, India

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089935	A1	20041021	WO 2003-IN151	20030410
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: WO 2003-IN151 20030410

AB Polymorphic crystalline forms of S-omeprazole

magnesium (e.g., S-omeprazole

magnesium trihydrate), processes for their preparation, and

pharmaceutical compns. containing them, are presented.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of polymorphic crystalline forms of S-
omeprazole magnesium

AB Polymorphic crystalline forms of S-omeprazole

magnesium (e.g., S-omeprazole

magnesium trihydrate), processes for their preparation, and

pharmaceutical compns. containing them, are presented.

ST omeprazole magnesium trihydrate crystal polymorphism

IT Cooling

Crystallization

Heating

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Precipitation (chemical)
(in preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT Polymorphism (crystal)
(preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT Drug delivery systems
(preparation of polymorphic crystalline forms of S-omeprazole magnesium for use in)

IT Alcohols, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; in preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT Esters, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; in the preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT 67-56-1, Methanol, uses 108-88-3, Toluene, uses 110-54-3, Hexane, uses
RL: NUU (Other use, unclassified); USES (Uses)
(in the preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT 7487-88-9, Magnesium sulfate, reactions 7732-18-5, Water, reactions 7786-30-3, Magnesium chloride, reactions 119141-88-7 161796-78-7 161796-84-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT 217087-09-7P 668985-31-7P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT 161973-10-0, (S)-Omeprazole magnesium
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(preparation of polymorphic crystalline forms of S-omeprazole magnesium)

IT 68-12-2, Dmf, uses 123-86-4, Butyl acetate
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; in the preparation of polymorphic crystalline forms of S-omeprazole magnesium)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:740315 CAPLUS

DOCUMENT NUMBER: 141:265972

TITLE: Preparation of crystal polymorphs of the antiulcer agent S-omeprazole and its hydrates

INVENTOR(S): Kumar, Yatendra; Khanna, Mahavir Singh; Prasad, Mohan

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076440	A1	20040910	WO 2004-IB535	20040301
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,			

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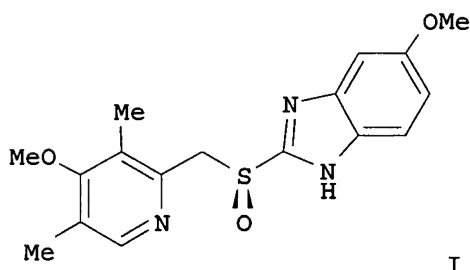
CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

IN 2003-DE199

A 20030228

GI



AB Polymorphic forms of the S-enantiomer of omeprazole, S-5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I), and its hydrates, are prepared and characterized.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of crystal polymorphs of the antiulcer agent
S-omeprazole and its hydrates

ST omeprazole crystal polymorphism prepn

IT Alcohols, uses

RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC
(Process); USES (Uses)

(aliphatic, solvents; in the preparation of crystal polymorphs of the
antiulcer agent S-omeprazole and its hydrates)

IT Ethers, uses

RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC
(Process); USES (Uses)

(cyclic, solvents; in the preparation of crystal polymorphs of the
antiulcer agent S-omeprazole and its hydrates)

IT Separation

(decantation; in the preparation of crystal polymorphs of the
antiulcer agent S-omeprazole and its hydrates)

IT Distillation

Drying

Evaporation

Filtration

Freeze drying

(in the preparation of crystal polymorphs of the antiulcer agent
S-omeprazole and its hydrates)

IT Hydrates

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP
(Physical process); THU (Therapeutic use); BIOL (Biological study); PROC
(Process); USES (Uses)

(of S-omeprazole; preparation of crystal
polymorphs of the antiulcer agent S-omeprazole and

- its hydrates)
- IT Differential scanning calorimetry
Human
X-ray diffraction
(of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT Antiulcer agents
Polymorphism (**crystal**)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT Drug delivery systems
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates for use in)
- IT Gastric acid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(secretion, inhibitors; preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT Esters, uses
Hydrocarbons, uses
Ketones, uses
Nitriles, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvents; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT Drying
(spray; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT Distillation
(vacuum; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT 7732-18-5, Water, reactions
RL: NUU (Other use, unclassified); RGT (Reagent); RACT (Reactant or reagent); USES (Uses)
(in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT 119141-88-7, **S-Omeprazole** 755036-61-4, **S-Omeprazole** sesquihydrate
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT 161796-84-5 161973-10-0, (**S**)-**Omeprazole** magnesium
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, 2-Propanol, uses 67-64-1, Acetone, uses 67-68-5, DmsO, uses 68-12-2, Dmf, uses 71-23-8, 1-Propanol, uses 71-36-3, 1-Butanol, uses 75-05-8, Acetonitrile, uses 75-65-0, tert-Butanol, uses 78-83-1, Isobutanol, uses 78-93-3, 2-Butanone, uses 108-10-1, 4-Methyl-2-pentanone 108-21-4, Isopropyl acetate 108-88-3, Toluene, uses 109-99-9, Thf, uses 123-91-1, Dioxane, uses 141-78-6, Ethyl acetate, uses 1330-20-7, Xylene, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)

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DOCUMENT NUMBER: 141:23531
TITLE: Crystal polymorphism of esomeprazole
magnesium trihydrate and method for its
preparation
INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore;
Purandhar, Koilkonda; Reddy, Lekkala Amarnath
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's
Laboratories, Inc.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046134	A2	20040603	WO 2003-US36715	20031118
WO 2004046134	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242642	A1	20041202	US 2003-716200	20031118
PRIORITY APPLN. INFO.:			IN 2002-MA852	A 20021118
AB	A crystalline polymorph of esomeprazole magnesium trihydrate is prepared and characterized by its X-ray powder diffraction pattern.			
TI	Crystal polymorphism of esomeprazole magnesium trihydrate and method for its preparation			
AB	A crystalline polymorph of esomeprazole magnesium trihydrate is prepared and characterized by its X-ray powder diffraction pattern.			
ST	esomeprazole magnesium trihydrate crystal polymorphism			
IT	Polymorphism (crystal) (crystal polymorphism of esomeprazole magnesium trihydrate and method for its preparation)			
IT	Crystallization Filtration (crystal polymorphism of esomeprazole magnesium trihydrate and method for its preparation using)			
IT	Alkanes, uses RL: NUU (Other use, unclassified); USES (Uses) (halo, solvents; crystal polymorphism of esomeprazole magnesium trihydrate and method for its preparation using)			
IT	Gastric acid RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (secretion, inhibitors; esomeprazole magnesium trihydrate crystalline polymorph)			
IT	Alcohols, uses Ketones, uses RL: NUU (Other use, unclassified); USES (Uses) (solvents; crystal polymorphism of esomeprazole magnesium trihydrate and method for its preparation using)			
IT	217087-09-7P, Esomeprazole magnesium trihydrate			

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RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(crystal polymorphism of **esomeprazole**
magnesium trihydrate and method for its preparation)

IT 7732-18-5, Water, reactions

RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or
reagent); USES (Uses)

(crystal polymorphism of **esomeprazole**
magnesium trihydrate and method for its preparation using)

IT 7439-95-4, **Magnesium**, reactions 119141-88-7,
Esomeprazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(crystal polymorphism of **esomeprazole**
magnesium trihydrate and method for its preparation using)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone, uses
67-66-3, Trichloromethane, uses 75-09-2, Dichloromethane, uses

1300-21-6, Dichloroethane 35296-72-1, Butanol 62309-51-7, Propanol
RL: NUU (Other use, unclassified); USES (Uses)

(solvent; crystal polymorphism of **esomeprazole**
magnesium trihydrate and method for its preparation using)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203830 CAPLUS

DOCUMENT NUMBER: 140:245456

TITLE: Amorphous hydrates of **esomeprazole**

magnesium and a process for their preparation

INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore;
Purandhar, Koilkonda; Sreenath, Keshaboina

PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's
Laboratories, Inc.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020436	A1	20040311	WO 2003-US27177	20030828
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2501424	AA	20040311	CA 2003-2501424	20030828
US 2004167173	A1	20040826	US 2003-651306	20030828
EP 1546131	A1	20050629	EP 2003-791960	20030828
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			IN 2002-MA638	A 20020830
			WO 2003-US27177	W 20030828

OTHER SOURCE(S): MARPAT 140:245456

AB A trihydrate of **esomeprazole magnesium** in the form of
an amorphous solid is prepared and described for use as a gastric acid
inhibitor.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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- TI Amorphous hydrates of **esomeprazole magnesium** and a process for their preparation
- AB A trihydrate of **esomeprazole magnesium** in the form of an amorphous solid is prepared and described for use as a gastric acid inhibitor.
- ST **esomeprazole magnesium** hydrate manuf antacid
- IT Alcohols, uses
RL: NUU (Other use, unclassified); USES (Uses)
(aliphatic, solvents; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT Alkanes, uses
RL: NUU (Other use, unclassified); USES (Uses)
(halo, solvents; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT Human Polymorphism (crystal)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT Gastric acid
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(secretion, inhibitors; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT Ulcer
(treatment; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT 161973-10-0, **Esomeprazole magnesium**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration in process for preparation of amorphous hydrates of **esomeprazole magnesium**)
- IT 217087-09-7P 668985-31-7P
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT 7439-95-4, **Magnesium**, reactions 161796-78-7, **Esomeprazole sodium**
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT 119141-88-7P, **Esomeprazole**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-66-3, Trichloromethane, uses 71-23-8, Propanol, uses 71-36-3, Butanol, uses 75-09-2, Dichloromethane, uses 141-78-6, Ethyl acetate, uses 1300-21-6, Dichloroethane
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)
- IT 7732-18-5, Water, reactions
RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses)
(solvent; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

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acid secretion)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:610242 CAPLUS
DOCUMENT NUMBER: 139:154933
TITLE: Transmucosal delivery of proton pump inhibitors
INVENTOR(S): Widder, Ken; Hall, Warren; Olmstead, Kay
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063840	A2	20030807	WO 2003-US2659	20030127
WO 2003063840	A3	20030904		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2472103	AA	20030807	CA 2003-2472103	20030127
US 2004006111	A1	20040108	US 2003-353143	20030127
EP 1469839	A2	20041027	EP 2003-705972	20030127
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005521662	T2	20050721	JP 2003-563534	20030127
PRIORITY APPLN. INFO.:			US 2002-351909P	P 20020125
			US 2002-374761P	P 20020422
			WO 2003-US2659	W 20030127
AB	The present invention relates to pharmaceutical compns. and methods for transmucosal delivery of proton pump inhibitors. In one embodiment, the pharmaceutical composition of the present invention comprises a core which comprises an antacid, and an outer layer surrounding the core. The outer layer contains a therapeutically effective amount of a proton pump inhibitor. In another embodiment, the pharmaceutical composition of the present invention comprises an outer layer which comprising a unidirectional film, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. In yet another embodiment, the pharmaceutical composition of the present invention is a unidirectional tablet for delivery of a proton pump inhibitor across the oral mucosa. In this embodiment, the pharmaceutical composition contains an outer layer which contains a pharmaceutically acceptable water impermeable layer, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. A tablet composition contained in the outer layer; Klucel EXP 10, dicalcium phosphate 10, MgCO ₃ -90S 20, FD&C Lake Red Number 0.1, and Compitol-888 1 mg/tablet; the inner layer comprised omeprazole 20, MgCO ₃ -90S 20, Klucel EXP 10, and Mg stearate 0.6 mg/tablet.			
IT	Antacids Beeswax Enantiomers Flavoring materials Polymorphism (crystal) Solubilizers (transmucosal delivery of proton pump inhibitors)			

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IT 87-99-0, Xylitab 100 144-55-8, Carbonic acid monosodium salt, biological studies 298-14-6 471-34-1, Calcium carbonate, biological studies 546-93-0, Magnesium carbonate 584-08-7 9002-88-4, Polyethylene 9004-34-6D, Cellulose, alkyl ethers 9004-64-2, Hydroxypropyl cellulose 12619-70-4, Cyclodextrin 18641-57-1 25038-59-9, Mylar, biological studies 73590-58-6, Omeprazole 74811-65-7, Croscarmellose sodium 77538-19-3, Glyceryl behenate 92340-57-3, HydroxyOmeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole 117976-89-3, Rabeprazole 117976-90-6, Pariprazole 119141-88-7, Esomeprazole 161973-10-0, Perprazole 350507-35-6, Dontoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transmucosal delivery of proton pump inhibitors)

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:5489 CAPLUS

DOCUMENT NUMBER: 138:49025

TITLE: Process for the preparation of the magnesium salt of S-omeprazole trihydrate

INVENTOR(S): Kronstrom, Anders; Leander, Eva; Mattson, Anders; Jansson, Karin; Bohlin, Martin

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. 6,369,085.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003004190	A1	20030102	US 2002-113245	20020401
US 6747155	B2	20040608		
SE 9702065	A	19981201	SE 1997-2065	19970530
SE 510650	C2	19990614		
WO 9854171	A1	19981203	WO 1998-SE974	19980525
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
EP 1273581	A1	20030108	EP 2002-19642	19980525
EP 1273581	B1	20050323		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY			
US 6369085	B1	20020409	US 1998-77719	19980608
HK 1051681	A1	20050819	HK 2003-103300	20000817
PRIORITY APPLN. INFO.:			SE 1997-2065	A 19970530
			WO 1998-SE974	W 19980525
			US 1998-77719	A2 19980608
			EP 1998-926005	A3 19980525
			HK 2000-105176	A 20000817

AB The present invention is a novel process for the preparation of the Mg salt of the (-)-enantiomer of 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1-benzimidazole trihydrate, i.e., S-omeprazole magnesium salt trihydrate. The present invention also relates to the S-omeprazole Mg salt trihydrate prepared in accordance with the new process and pharmaceutical compns. containing it. New intermediates used in the process include

S-omeprazole magnesium salt dihydrate or S-omeprazole potassium salt. The process for preparation of the enantiopure magnesium salt of S-omeprazole trihydrate comprises (a) dissolving a Mg source (e.g., MgSO₄) in water, (b) mixing a potassium salt of S-omeprazole with water, (c) mixing the two solns. to form the magnesium salt of S-omeprazole and precipitate the salt, (d) isolating the obtained magnesium salt, (e) treating the salt with water, and (f) isolating and drying the magnesium salt of S-omeprazole trihydrate. Thus, addition of water to wet crystals of the Mg salt of S-omeprazole (preparation given from potassium salt of S-omeprazole) and heating to 38° with stirring for 3 h afforded crystals of S-omeprazole magnesium salt trihydrate. Powder XRD data for the product are given. The product is advantageous because it is more stable than corresponding magnesium salt compds. in prior art, and is easier to handle and store. The method of preparation is reproducible and easier to handle in full scale production

TI Process for the preparation of the magnesium salt of S-omeprazole trihydrate

AB The present invention is a novel process for the preparation of the Mg salt of the (-)-enantiomer of 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1-benzimidazole trihydrate, i.e., S-omeprazole magnesium salt trihydrate. The present invention also relates to the S-omeprazole Mg salt trihydrate prepared in accordance with the new process and pharmaceutical compns. containing it. New intermediates used in the process include S-omeprazole magnesium salt dihydrate or S-omeprazole potassium salt. The process for preparation of the enantiopure magnesium salt of S-omeprazole trihydrate comprises (a) dissolving a Mg source (e.g., MgSO₄) in water, (b) mixing a potassium salt of S-omeprazole with water, (c) mixing the two solns. to form the magnesium salt of S-omeprazole and precipitate the salt, (d) isolating the obtained magnesium salt, (e) treating the salt with water, and (f) isolating and drying the magnesium salt of S-omeprazole trihydrate. Thus, addition of water to wet crystals of the Mg salt of S-omeprazole (preparation given from potassium salt of S-omeprazole) and heating to 38° with stirring for 3 h afforded crystals of S-omeprazole magnesium salt trihydrate. Powder XRD data for the product are given. The product is advantageous because it is more stable than corresponding magnesium salt compds. in prior art, and is easier to handle and store. The method of preparation is reproducible and easier to handle in full scale production

ST omeprazole trihydrate magnesium salt prepn enantiopure XRD

IT X-ray diffraction
(of magnesium salt of S-omeprazole trihydrate)

IT 10034-99-8, Magnesium sulfate heptahydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of S-omeprazole magnesium salt and trihydrate)

IT 7487-88-9, Magnesium sulfate, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of S-omeprazole magnesium salt trihydrate)

IT 161796-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conversion to S-omeprazole magnesium salt trihydrate)

IT 217087-10-0P

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydration to **S-omeprazole**
magnesium salt trihydrate)

IT 161973-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydration to give **S-omeprazole**
magnesium salt trihydrate)

IT 217087-09-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation from **S-omeprazole magnesium salt**
dihydrate or **S-omeprazole potassium salt** and powder
XRD of)

IT 73590-58-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(resolution via D-(-)-tartrate for preparation of **S-**
omeprazole magnesium salt trihydrate)

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